(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 24 July 2003 (24.07.2003)

PCT

(10) International Publication Number WO 03/059973 A2

- C08F 293/00, (51) International Patent Classification7: A61K 31/00, C08F 20/36, 4/00
- (21) International Application Number: PCT/GB02/05932
- (22) International Filing Date:

27 December 2002 (27.12.2002)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

0131112.5

31 December 2001 (31.12.2001) GB

- (71) Applicant (for all designated States except US): POLY-THERICS LIMITED [GB/GB]; 90 Fetter Lane, London EC4A 1JP (GB).

- (72) Inventors; and
- (75) Inventors/Applicants (for US only): BROCCHINI, Steve [US/GB]; 15, Westly Wood, Welwyn Garden City, Hertfordshire AL7 1QN (GB). GODWIN, Antony [GB/GB]; 159 St Peters Rise, Headley Park, Bristol BS13 7QR (GB).
- (74) Agents: SCOTT, Susan, Margaret et al.; Abel & Imray, 20 Red Lion Street, London WC1R 4PQ (GB).

- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW. MX, MZ, NO. NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: BLOCK COPOLYMERS

$$\frac{\left\{\left(R^{3}-O\right)_{n}\right\}-\left[\left(\left(\begin{matrix}H\\ C\\ R\end{matrix}\right)-\left(\begin{matrix}R^{3}\\ R^{2}\end{matrix}\right)_{m}\right]}{\left(\begin{matrix}R^{3}\\ R\end{matrix}\right)} \qquad (1)$$

(57) Abstract: Novel block copolymers are described, together with the production therefrom of physiologically soluble polymer therapeutics. The block copolymers have the general formula (1) wherein R is selected from the group consisting of hydrogen, C_1 - C_{18} alkyl, C2-C18 akenyl, C2-C18 aralkyl, C2-C18 alkaryl, C6-C18 aryl, carboxylic acid, C2-C18 alkoxycarbonyl, C2-C18 alkaminocarbonyl, or any one of C_1 - C_{18} alkeyl, C_2 - C_{18} alkenyl, C_7 - C_{18} aralkyl, C_7 - C_{18} alkaryl, C_6 - C_{18} alkoxycarbonyl and C_2 - C_{18} alkaryl, C_7 - C_{18} alkaryl, C_8 - C_{18} minocarbonyl substituted with a heteroatom within, or attached to, the carbon backbone: R1 is selected from the group consisting of hydrogen and C₁-C₆ alkyl groups; R² is a linking group; X is an electron withdrawing group; R³ is selected from the group consisting of C1-C1s alkylene, C2-C1s alkenylene, C2-C1s aralkylene, C2-C1s alarylene and C6-C1s arylene; L is a divalent linker joining the blocks; and m and n are each an integer of greater than 1.